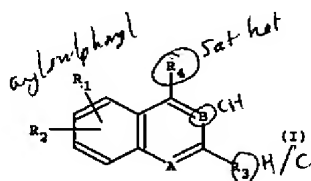


96-094177/10 B02 (B05) CHUS 94.06.21
 CHUGAI PHARM CO LTD *JP 08003144-A
 94.06.21 94IP-173067 (96.01.09) C07D 239/72, C07C 43/235, 43/257,
 49/84, 205/06, 211/57, 211/58, 211/59, C07D 403/04, 401/04, C07C 211/60,
 255/49, 317/16, 317/26, 317/44, C07D 215/50 // A61K 31/47, 31/505
 Novel quinazoline and quinoline derivs. - are potassium channel
 openers with vasodilatory activity
 C96-030111

Quinazoline and quinoline derivs. of formula (I) and their salts are
 new.



B(6-D2, 6-D6, 14-F2D) .3

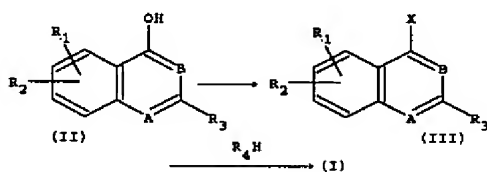
A, B = N or CH ;
 R₁, R₂ = H, lower alkyl, lower haloalkyl, lower alkoxy, lower
 haloalkoxy, halogen, cyano, nitro, lower alkylsulphonyl,
 lower haloalkylsulphonyl, arylsulphonyl, acyl, carboxyl,
 ester or amide;
 R₃ = H, opt. substd. lower alkyl, or opt. substd. aryl;
 R₄ = opt. substd. heterocyclic gp., carboamide, carbothioamide,
 cyanamide, opt. substd. amino, lower alkoxy, cycloalkyloxy,
 aryloxy or heteroalkyloxy.

ADVANTAGE

(I) have high vasodilatory activity as potassium channel openers.

JP 08003144-A+

PREPARATION



X = halogen.

EXAMPLE

Na hydride (33 mg), 4-chloro-2-ethyl-6-nitroquinazoline (170
 mg) and DMF (3 ml) were added to 2-hydroxypyridine (82 mg) and
 DMF (3 ml). The mixt. was stirred at room temp. for 14.5 hrs. Water
 was added and the mixt. extracted with ethyl acetate.

Work-up including silica gel chromatography gave 40 mg 2-ethyl-
 4-(1,2-dihydro-2-oxypyridyl)-6-nitroquinazoline, m.pt. 221-223°C.
 (LD)
 (13pp097DwgNo.0/0)

JP 08003144-A